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L3: Entry 30 of 30 File: USPT Dec 22, 1998

DOCUMENT-IDENTIFIER: US 5852035 A
TITLE: Method for inhibiting neoplastic cells and related conditions by exposure to substituted N- arylmethyl and heterocyclmethyl-1H-pyrazolo (3,4-B) quinolin-4-amines

Application Filing Date (1):
19971212

INVENTOR (2):
Piazza; Gary A.

Brief Summary Text (4):
Each year in the United States alone, untold numbers of people develop precancerous lesions, which is a form of neoplasia, as discussed below. Such lesions exhibit a strong tendency to develop into malignant tumors, or cancer. Such lesions include lesions of the breast (that can develop into breast cancer), lesions of the skin (that can develop into malignant melanoma or basal cell carcinoma), colonic adenomatous polyps (that can develop into colon cancer), and other such neoplasms. Compounds that prevent or induce the remission of existing precancerous or cancerous lesions or carcinomas would greatly reduce illness and death from cancer.

Brief Summary Text (8):
In view of these grim statistics, efforts in recent years have concentrated on colon cancer prevention. Colon cancer usually arises from pre-existing benign neoplastic growths known as polyps. Prevention efforts have emphasized the identification and removal of colonic polyps. Polyps are identified by x-ray and/or colonoscopy, and usually removed by devices associated with the colonoscope. The increased use of colon x-rays and colonoscopies in recent years has detected clinically significant precancerous polyps in four to six times the number of individuals per year that acquire colon cancer. During the past five years alone, an estimated 3.5 to 5.5 million people in the United States have been diagnosed with adenomatous colonic polyps, and it is estimated that many more people have or are susceptible to developing this condition, but are as yet undiagnosed. In fact, there are estimates that 10-12 percent of people over the age of 40 will form clinically significant adenomatous polyps.

Brief Summary Text (9):
Removal of polyps has been accomplished either with surgery or fiber-optic endoscopic polypectomy--procedures that are uncomfortable, costly (the cost of a single polypectomy ranges between $1,000 and $1,500 for endoscopic treatment and more for surgery), and involve a small but significant risk of colon perforation. Overall, about $2.5 billion is spent annually in the United States in colon cancer treatment and prevention.

Brief Summary Text (12):
In most cases (i.e. the cases of sporadic lesion formation, e.g. so-called common sporadic polyps), lesion removal will be effective to reduce the risk of cancer. In a small percentage of cases (i.e. cases where numerous lesions form, e.g. the so-called polyposis syndromes), removal of all or part of the effected area (e.g. the colon) is indicated. For example, the difference between common sporadic polyps and polyposis syndromes is dramatic. Common sporadic polyp cases are characterized by relatively few polyps which can usually be removed leaving the colon intact. By contrast, polyposis syndrome cases can be characterized by many (e.g. hundreds or more) of polyps--literally covering the colon in some cases--making safe removal of the polyps impossible short of surgical removal of the colon.

Brief Summary Text (18):
In recent years, several non-steroidal anti-inflammatory drugs ("NSAIDs"), originally developed to treat arthritis, have shown effectiveness in inhibiting and eliminating colonic polyps. Polyps virtually disappear when the patients take the drug, particularly when the NSAID sulindac is administered. However, the prophylactic use of currently available NSAIDs, even in polyposis syndrome patients, is marked by severe side reactions that include gastrointestinal irritations and ulcerations. Once NSAID treatment is terminated due to such complications, the polyps return, particularly in polyposis syndrome patients.

Brief Summary Text (52):
As used herein, the term "precancerous lesion" includes syndromes represented by abnormal neoplastic, including dysplastic, changes of tissue. Examples include adenomatous growths in colonic, breast or lung tissues, or conditions such as dysplastic nevus syndrome, a precursor to malignant melanoma of the skin. Examples also include, in addition to dysplastic nevus syndromes, polyposis syndromes, colonic polyps, precancerous lesions of the cervix (i.e., cervical dysplasia), prostatic dysplasia, bronchial dysplasia, breast, bladder and/or skin and related conditions (e.g., actinic keratosis), whether the lesions are clinically identifiable or not.

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L3: Entry 30 of 30 File: USPT Dec 22, 1998

US-PAT-NO: 5852035
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TITLE: Method for inhibiting neoplastic cells and related conditions by exposure to substituted N- arylmethyl and heterocyclmethyl-1H-pyrazolo (3,4-B) quinolin-4-amines

DATE-ISSUED: December 22, 1998

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Piazza; Gary A.	Doylestown	PA		

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NAME	CITY	STATE	ZIP CODE	COUNTRY	TYPE CODE
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APPL-NO: 08/ 989357 [PALM]
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FIELD-OF-SEARCH: 514/293, 514/236.5

PRIOR-ART-DISCLOSED:

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Search Selected Search ALL

	PAT-NO	ISSUE-DATE	PATENTEE-NAME	US-CL
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☐	3161654	December 1964	Shen	260/319
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☐	3647858	March 1972	Hinkley et al.	260/470
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ART-UNIT: 164

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ATTY-AGENT-FIRM: Stevenson; Robert W.

ABSTRACT:

A method for inhibiting neoplastic cells and related conditions by exposing them to substituted N-arylmethyl and heterocyclmethyl-1H-pyrazolo[3,4-B]quinolin-4-amines.

15 Claims, 0 Drawing figures